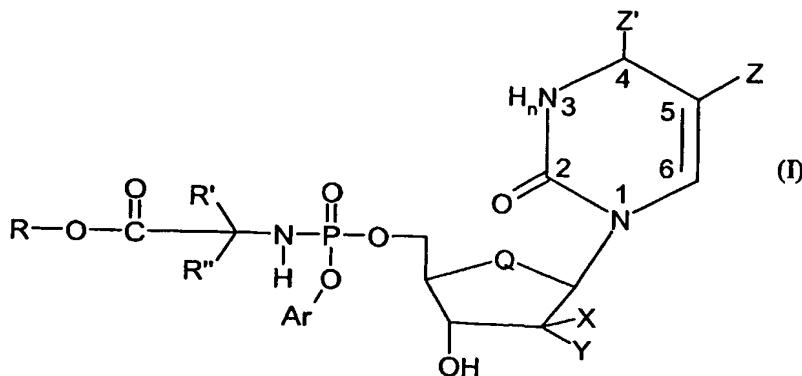


CLAIMS.

1. A chemical compound having formula I:



5 wherein:

R is selected from the group comprising alkyl, aryl and alkylaryl;

R' and R'' are independently selected from the group comprising H, alkyl and alkylaryl, or R' and R'' together form an alkylene chain so as to provide, together with the C atom to which they are attached, a cyclic system;

10 Q is selected from the group comprising $-O-$ and $-CH_2-$;

X and Y are independently selected from the group comprising H, F, Cl, Br, I, OH and methyl ($-CH_3$);

Ar is a monocyclic aromatic ring moiety or a fused bicyclic aromatic ring moiety, either of which said ring moieties is carbocyclic or heterocyclic and is optionally substituted;

15 Z is selected from the group comprising H, alkyl and halogen; and n is 0 or 1,

wherein when n is 0, Z' is $-NH_2$ and a double bond exists between position 3 and position 4, and

when n is 1, Z' is $=O$;

20 or a pharmaceutically acceptable derivative or metabolite of a compound of formula I; with the proviso that, except where R is 2-Bu ($-CH_2-CH(CH_3)_2$) and one of R' and R'' is H and one of R' and R'' is methyl ($-CH_3$), when n is 1 and X and Y are both H, then Ar is not unsubstituted phenyl ($-C_6H_5$).

2. A compound according to claim 1 wherein R is selected from the group comprising a C₁₋₁₆ primary or secondary alkyl group, a C₅₋₇ carbocyclic aryl group or a C₁₋₆alkylC₅₋₁₁ aryl group.

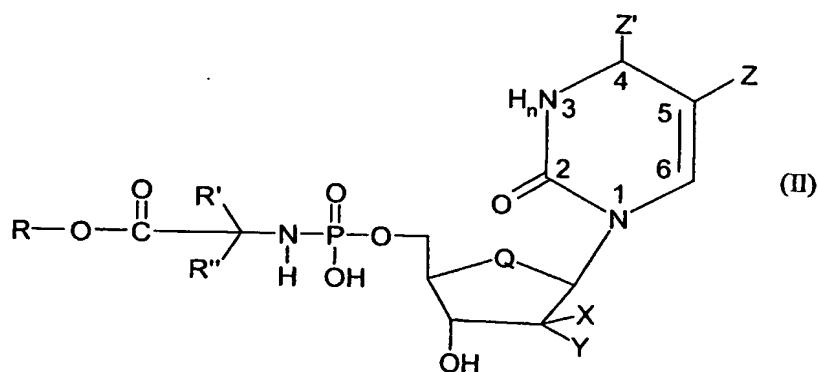
5 3. A compound according to claim 2 wherein R is selected from the group comprising methyl (-CH₃), ethyl (-C₂H₅) and benzyl (-CH₂C₆H₅).

4. A compound according to claim 3 wherein R is benzyl.

10 5. A compound according to any one of the preceding claims wherein Ar is an optionally substituted C₆ monocyclic aromatic ring moiety, ie is optionally substituted phenyl.

6. A compound according to claim 5 wherein Ar is selected from the group 15 comprising -C₆H₅, pCF₃C₆H₄-, pFC₆H₄-, pNO₂C₆H₄-, pClC₆H₄- and oClC₆H₄-.

7. A chemical compound having formula II:



wherein n, Q, R, R', R'', X, Y, Z and Z' have the meanings described in claim 1, and 20 additionally R can be H, with provisos that:

when n is 1, X and Y are both H, R is methyl (-CH₃), one of R' and R'' is H and one of R' and R'' is methyl (-CH₃), then Z is not -CH=CHBr;

when n is 1, X and Y are both H, R is methyl (-CH₃), one of R' and R'' is H and one of R' and R'' is phenylethyl, phenylmethyl, indol-3-ylmethyl or indol-3-ylethyl, then Z is not F;

25 and

when n is 0, X is not H.

8. A compound according to any one of the preceding claims wherein R' and R" are, independently, selected from the group comprising H, C₁₋₆ primary, secondary and tertiary alkyl, C₁₋₃alkylC₅₋₇ aryl, or, when together they form an alkylene chain, they provide, together with the C atom to which they are attached, a C₃₋₈ carbocyclic aliphatic ring.

9. A compound according to claim 8 wherein R' and R" are, independently, selected from the group comprising H, methyl, benzyl and -CH₂CH(CH₃)₂, or, R' and R" together with the C atom to which they are attached, provide a C₅₋₆ ring.

10. A compound according to claim 9 wherein R' and R" are each methyl.

11. A compound according to claim 9 wherein one of R' and R" is H and one of R' and R" is methyl.

12. A compound according to claim 9 wherein the carbocyclic ring is a pentyl ring.

13. A compound according to any one of the preceding claims wherein R' and R" correspond to the side chains of a naturally occurring amino acid.

20. A compound according to any one of the preceding claims wherein Z is selected from the group comprising H, C₁₋₆alkyl, substituted C₁₋₆alkyl, C₁₋₆alkenyl, substituted C₁₋₆alkenyl, C₁₋₆alkynyl, and halogen.

25 15. A compound according to any one of the preceding claims wherein Q is O.

16. A compound according to any one of the preceding claims wherein when n is 1, each of X and Y is H.

30 17. A compound according to any one of claims 1 to 15 wherein when n is 0, each of X and Y is F.

18. A compound according to any one of claims 1 to 15 wherein when n is 0, X is OH and Y is H.

19. A compound according to any one of claims 1 to 15 wherein when n is 0, X is H
5 and Y is OH.

20. A compound selected from the group comprising:

(E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[phenyl-(ethoxy-L-alaninyl)]-phosphate (CPF 3)

10 (E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[phenyl-(benzoxo-L-alaninyl)]-phosphate (CPF 2)

(E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[para-fluorophenyl-(methoxy-L-alaninyl)]-
phosphate (CPF 5)

15 (E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[para-fluorophenyl-(ethoxy-L-alaninyl)]-
phosphate (CPF 6)

(E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[para-fluorophenyl-(benzoxo-L-alaninyl)]-
phosphate (CPF 7)

(E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[para-nitrophenyl-(methoxy-L-alaninyl)]-
phosphate (CPF 10)

20 (E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[para-nitrophenyl-(ethoxy-L-alaninyl)]-
phosphate (CPF 9)

(E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[para-nitrophenyl-(benzoxo-L-alaninyl)]-
phosphate (CPF 8)

25 (E)-5-(2-bromovinyl)-2'-deoxyuridine-5'-[para-(trifluoromethyl)-phenyl-(methoxy-L-
alaninyl)]-phosphate (CPF 15)

(E)-5-(2-bromovinyl)-2'-deoxyuridine-5'-[para-(trifluoromethyl)-phenyl-(ethoxy-L-
alaninyl)]-phosphate (CPF 25)

(E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[para-trifluorophenyl-(benzoxo-L-alaninyl)]-
phosphate (CPF 4)

30 (E)-5-(2-bromovinyl)-2'-deoxyuridine-5'-[4-chlorophenyl-(methoxy-L-alaninyl)]-
phosphate (CPF 13)

(E)-5-(2-bromovinyl)-2'-deoxyuridine-5'-[4-chlorophenyl-(ethoxy-L-alaninyl)]-phosphate
(CPF 11)

(E)-5-(2-bromovinyl)-2'-deoxyuridine-5'-[4-chlorophenyl-(benzoxo-L-alaninyl)]-phosphate (CPF 12)

(E)-5-(2-bromovinyl)-2'-deoxyuridine-5'-[phenyl-(methoxy- α,α -dimethylglycanyl)]-phosphate (CPF 26)

5 (E)-5-(2-bromovinyl)-2'-deoxyuridine-5'-[phenyl-(ethoxy- α,α -dimethylglycanyl)]-phosphate (CPF 27)

(E)-5-(2-bromovinyl)-2'-deoxyuridine-5'-[phenyl-(benzoxo- α,α -dimethylglycanyl)]-phosphate (CPF 14)

(E)-5-(2-bromovinyl)-2'-deoxyuridine-5'-[4-nitrophenyl-(methoxy- α,α -dimethylglycanyl)]-phosphate (CPF 45)

10 (E)-5-(2-bromovinyl)-2'-deoxyuridine-5'-[4-nitrophenyl-(ethoxy- α,α -dimethylglycanyl)]-phosphate (CPF 46)

(E)-5-(2-bromovinyl)-2'-deoxyuridine-5'-[4-nitrophenyl-(benzoxo- α,α -dimethylglycanyl)]-phosphate (CPF 47)

15 (E)-5-(2-bromovinyl)-2'-deoxyuridine-5'-[4-chlorophenyl-(methoxy- α,α -dimethylglycanyl)]-phosphate (CPF 42)

(E)-5-(2-bromovinyl)-2'-deoxyuridine-5'-[4-chlorophenyl-(ethoxy- α,α -dimethylglycanyl)]-phosphate (CPF 43)

(E)-5-(2-bromovinyl)-2'-deoxyuridine-5'-[4-chlorophenyl-(benzoxo- α,α -dimethylglycanyl)]-phosphate (CPF 44)

20 (E)-5-(2-bromovinyl)-2'-deoxyuridine-5'-[para-(trifluoromethyl)-phenyl-(benzoxo- α,α -dimethylglycanyl)]-phosphate (CPF 48)

(E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[phenyl-(methoxy- α,α -cycloleucinyl)]-phosphate (CPF 16)

25 (E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[phenyl-(ethoxy- α,α -cycloleucinyl)]-phosphate (CPF 17)

(E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[phenyl-(benzoxo- α,α -cycloleucinyl)]-phosphate (CPF 18)

(E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[para-nitrophenyl-(methoxy- α,α -cycloleucinyl)]-phosphate (CPF 19)

30 (E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[para-nitrophenyl-(ethoxy- α,α -cycloleucinyl)]-phosphate (CPF 20)

(E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[para-nitrophenyl-(benzoxy- α,α -cycloleucinyl)]-phosphate (CPF 21)

(E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[para-fluorophenyl-(methoxy- α,α -cycloleucinyl)]-phosphate (CPF 22)

5 (E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[para-fluorophenyl-(ethoxy- α,α -cycloleucinyl)]-phosphate (CPF 23)

(E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[para-fluorophenyl-(benzoxy- α,α -cycloleucinyl)]-phosphate (CPF 24)

(E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[para-chlorophenyl-(methoxy- α,α -cycloleucinyl)]-phosphate (CPF 32)

10 (E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[para-chlorophenyl-(ethoxy- α,α -cycloleucinyl)]-phosphate (CPF 33)

(E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[phenyl-(methoxy-L-phenylalaninyl)]-phosphate (CPF 36)

15 (E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[para-chlorophenyl-(benzoxy- α,α -cycloleucinyl)]-phosphate (CPF 34)

(E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[para-trifluorophenyl-(methoxy- α,α -cycloleucinyl)]-phosphate (CPF 28)

(E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[para-trifluorophenyl-(ethoxy- α,α -cycloleucinyl)]-phosphate (CPF 29)

20 (E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[para-trifluorophenyl-(benzoxy- α,α -cycloleucinyl)]-phosphate (CPF 30)

(E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[phenyl-(methoxy-L-phenylalaninyl)]-phosphate (CPF 36)

25 (E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[phenyl-(methoxy-L-leucinyl)]-phosphate (CPF 35)

(E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[phenyl-(benzoxy-L-leucinyl)]-phosphate (CPF 37)

(E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[para-nitrophenyl-(benzoxy-L-leucinyl)]-phosphate (CPF 38)

30 (E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[para-chlorophenyl-(benzoxy-L-leucinyl)]-phosphate (CPF 39)

(E)-5-(2-Bromovinyl)-2'-deoxyuridine-5'-[phenyl-(2-butyl-L-alaninyl)]-phosphate

Gemcitabine-[phenyl-(benzoxy-L-alaninyl)]-phosphate (CPF 31)

Gemcitabine-[para-chlorophenyl-(benzoxy-L-alaninyl)]-phosphate (CPF 40) and

Gemcitabine-[para-chlorophenyl-(benzoxy- α , α -dimethylglycanyl)]-phosphate (CPF 41).

5 21. A compound according to any one of claims 1 to 6, claim 20, or to any one of
claims 8 to 19 as dependent on any one of claims 1 to 6, for use in a method of treatment,
preferably in the prophylaxis or treatment of cancer, with the proviso that when n is 1, X
and Y are both H, one of R' and R'' is H and one of R' and R'' is methyl (CH₃), R is 2-Bu
(-CH₂-CH-(CH₃)₂) or R is benzyl (-CH₂C₆H₅), then Ar can be unsubstituted phenyl (-
10 C₆H₅).

22. Use of a compound according to any one of claims 1 to 6, claim 20, or to any one
of claims 8 to 19 as dependent on any one of claims 1 to 6, in the manufacture of a
medicament for the prophylaxis or treatment of cancer, with the proviso set out in claim
15 21.

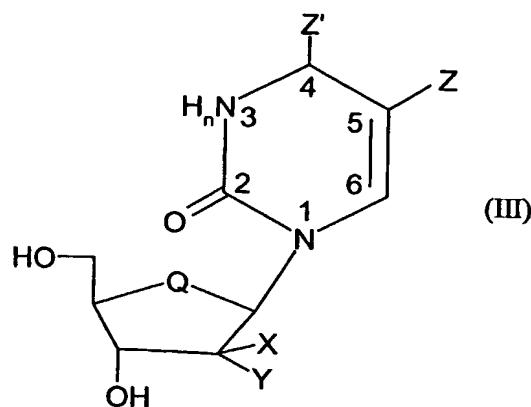
23. A method of prophylaxis or treatment of cancer comprising administration to a
patient in need of such treatment an effective dose of a compound according to any one of
claims 1 to 6, claim 20, or to any one of claims 8 to 19 as dependent on any one of claims 1
20 to 6, with the proviso set out in claim 21.

24. A pharmaceutical composition comprising a compound according to any one of
claims 1 to 6, claim 20, or to any one of claims 8 to 19 as dependent on any one of claims 1
to 6, in combination with a pharmaceutically acceptable carrier, diluent or excipient.

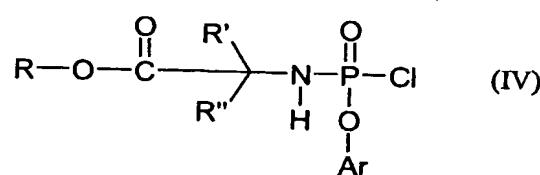
25

25. A method of preparing a pharmaceutical composition comprising the step of
combining a compound according to any one of claims 1 to 6, claim 20 or any one of
claims 8 to 19 as dependent on any one of claims 1 to 6, with a pharmaceutically
acceptable excipient, carrier or diluent.

26. A process for the preparation of a compound of formula I according to claim 1, the process comprising reacting of a compound of formula (III):



5 with a compound of formula (IV)



wherein Ar, n, Q, R, R', R'', X, Y, Z' and Z'' have the meanings described in claim 1.